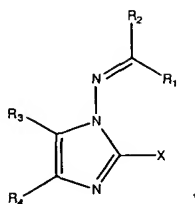


WHAT IS CLAIMED IS:

1. A compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen, halo, alkyl, haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl; and each of R_c and R_d , independently, is hydrogen; halo; alkyl; heteroaryl; phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl or imidazolyl, or phenyl or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclyl, optionally fused to aryl, heteroaryl, cycloalkyl, or heterocyclyl; hydrogen; halo; alkyl; haloalkyl; alkenyl; or alkynyl;

R_2 is hydrogen, alkyl, cycloalkyl, cycloalkenyl, phenyl, thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, cyano, alkyl, haloalkyl, nitro, or alkoxy;

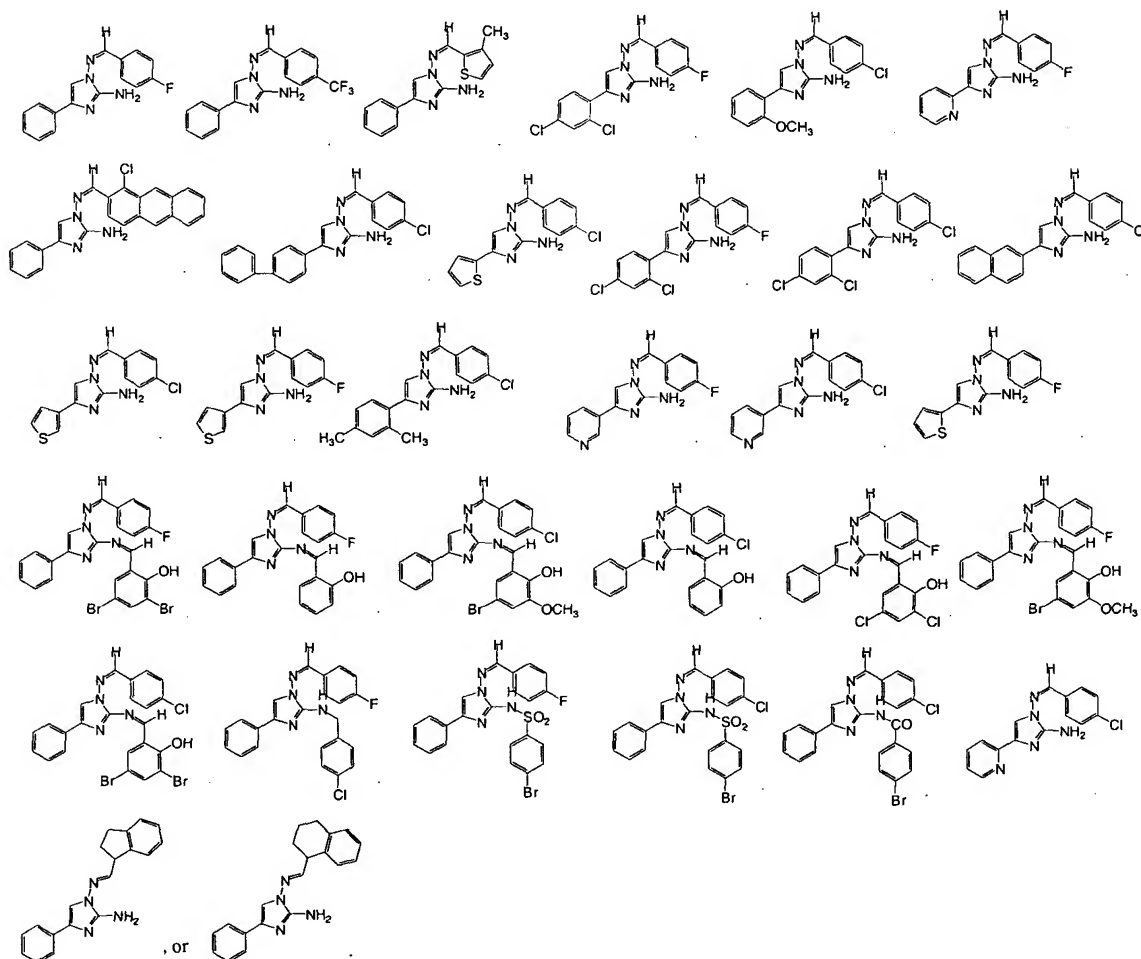
R_3 is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; and

R_4 is diphenyl, thienyl, pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; is diphenyl, thienyl, pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano,

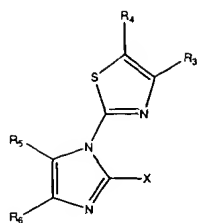
nitro, or alkoxy when R₂ is phenyl optionally substituted with hydroxy, alkyl, haloalkyl, or alkoxy; is pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R₂ is phenyl optionally substituted with chloro, bromo, iodo, or nitro; is phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R₂ is phenyl substituted with fluoro, alkyl, or haloalkyl; or is alkyl, cycloalkyl, cycloalkenyl, or heterocyclyl optionally substituted with hydroxy, halo, alkyl, cyano, nitro, haloalkyl or alkoxy when R₂ is hydrogen, alkyl, cycloalkyl, cycloalkenyl, thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, or alkoxy.

2. The compound of claim 1, wherein R₂ is thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; or phenyl substituted with hydroxy, fluoro, chloro, bromo, alkyl, or alkoxy.
3. The compound of claim 2, wherein R₄ is phenyl, pyridinyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy.
4. The compound of claim 2, wherein R₂ is phenyl, fluorophenyl, chlorophenyl, trifluoromethylphenyl, methoxyphenyl, chloroanthryl, or chloronitrophenyl.
5. The compound of claim 3, wherein X is NH₂.
6. The compound of claim 3, wherein R₁ is hydrogen or heteroaryl; and R₃ is hydrogen or phenyl.
7. The compound of claim 3, wherein R₂ is phenyl, fluorophenyl, chlorophenyl, trifluoromethylphenyl, methoxyphenyl, chloroanthryl, or chloronitrophenyl.
8. The compound of claim 3, wherein R₄ is phenyl, alkylphenyl, alkoxyphenyl, or chlorophenyl.

9. The compound of claim 8, wherein R_1 is hydrogen or heteroaryl; R_2 is phenyl, fluorophenyl, chlorophenyl, trifluoromethylphenyl, methoxyphenyl, chloroanthryl, or chloronitrophenyl; R_3 is hydrogen or phenyl; and X is NH_2 .
10. The compound of claim 1, wherein R_4 is phenyl, pyridinyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy.
11. The compound of claim 10, wherein R_4 is phenyl, alkylphenyl, alkoxyphenyl, or chlorophenyl.
12. The compound of claim 1, wherein the compound is



13. A compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen, halo, alkyl, or haloalkyl; arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, and each of R_c and R_d , independently, is hydrogen; halo; alkyl; heteroaryl; phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl or imidazolyl, or phenyl or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

each of R_1 and R_2 , independently, is hydrogen, alkyl, or haloalkyl;

R_3 is alkyl, phenyl, thienyl, pyridinyl, thiazolyl, cycloalkyl, cycloalkenyl, benzofuranyl, indolyl, pyrazinyl, pyrimidinyl, pyrrolyl, N-methylpyrrolyl, isothiazolyl, oxadiazolyl, furyl, isoazolyl, oxazolyl, or heterocyclyl optionally substituted with halo, alkyl, haloalkyl, hydroxy, or amino;

R_4 is hydrogen, alkyl, hydroxy, or amino;

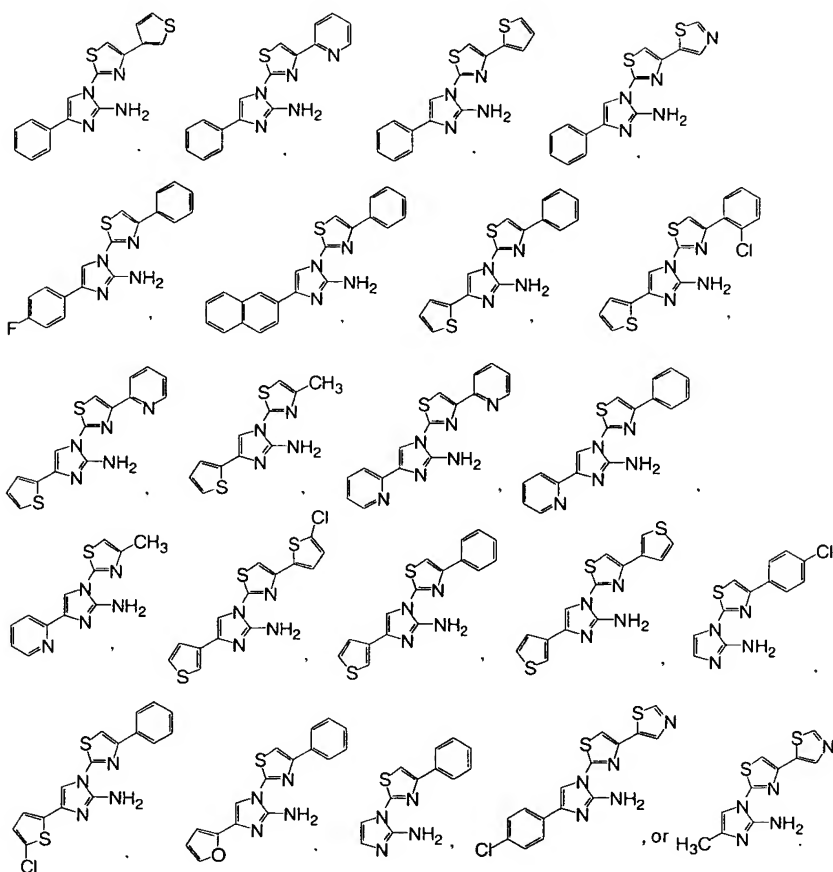
R_5 is hydrogen, alkyl, or aryl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino;

R_6 is hydrogen, fluorophenyl, naphthyl, thienyl, pyridinyl, furyl, thiazolyl, cycloalkyl, cycloalkenyl, benzofuranyl, indolyl, pyrazinyl, pyrimidinyl, pyrrolyl, N-methylpyrrolyl, isothiazolyl, oxadiazolyl, isoazolyl, oxazolyl, or heterocyclyl when R_3 is alkyl optionally substituted with halo, hydroxy, or amino, or is phenyl optionally substituted with halo, hydroxy, amino, or alkyl; and R_5 is hydrogen, alkyl, or aryl optionally substituted with hydroxy, alkyl, or amino, or is phenyl optionally substituted

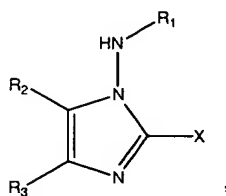
with hydroxy, halo, alkyl, haloalkyl, or amino when R₃ is thienyl, pyridinyl, or thiazolyl, optionally substituted with halo, alkyl, haloalkyl, or hydroxy, and R₅ is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino.

14. The compound of claim 13, wherein R₃ is alkyl optionally substituted with halo, hydroxy, or amino, or is phenyl optionally substituted with halo, hydroxy, amino, or alkyl; R₅ is hydrogen, alkyl, or aryl optionally substituted with hydroxy, alkyl, or amino; and R₆ is hydrogen, fluorophenyl, naphthyl, thienyl, pyridinyl, furyl, or thiazolyl.
15. The compound of claim 14, wherein R₆ is hydrogen, naphthyl, thienyl, furyl, or thiazolyl.
16. The compound of claim 15, wherein X is NH₂; and R₄ is H.
17. The compound of claim 16, wherein R₃ is phenyl or alkyl, optionally substituted with halo; and R₅ is hydrogen or phenyl.
18. The compound of claim 13, wherein R₃ is thienyl, pyridinyl, or thiazolyl, optionally substituted with hydroxy, halo, alkyl, or haloalkyl; R₅ is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino; and R₆ is phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or nitro.
19. The compound of claim 18, wherein R₆ is phenyl.
20. The compound of claim 19, wherein X is NH₂; and R₄ is H.
21. The compound of claim 20, wherein R₃ is thienyl, pyridinyl, or thiazolyl, optionally substituted with halo; and R₅ is hydrogen or phenyl.

22. The compound of claim 13, wherein the compound is



23. A compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is halo or haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino;

naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

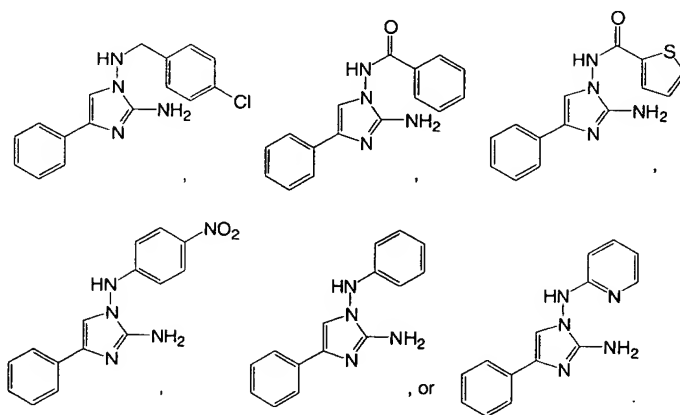
R₁ is alkyl, phenyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, optionally substituted with alkoxy, halo, cyano, nitro, or haloalkyl; or hydrogen;

R₂ is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

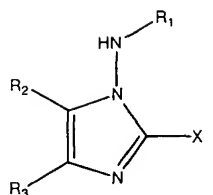
R₃ is hydrogen, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo or alkyl.

24. The compound of claim 23, wherein X is NH₂; R₂ is hydrogen; and R₃ is phenyl, furyl, or thienyl.

25. The compound of claim 24, wherein the compound is



26. A compound of the following formula:



wherein

X is -NR_aR_b or -N=CR_cR_d, in which each of R_a and R_b, independently, is halo or haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d, independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

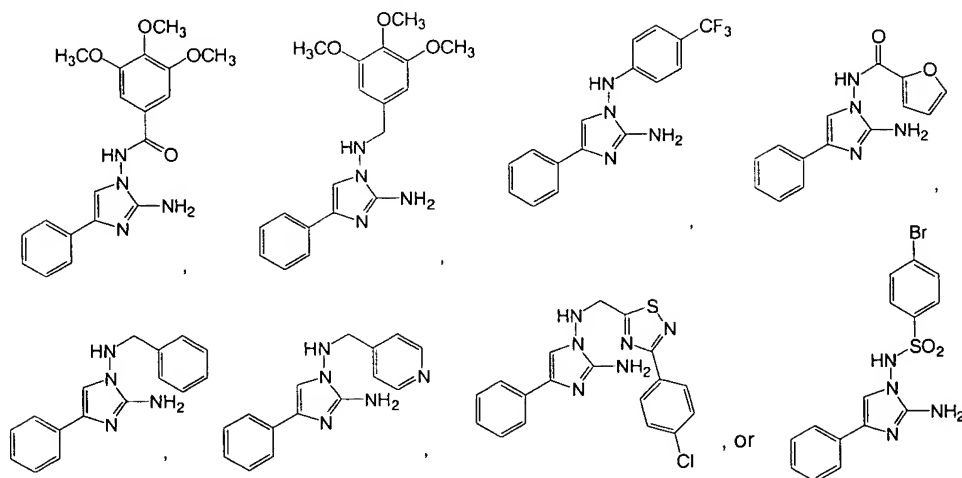
R₁ is alkyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, optionally substituted with alkoxy, halo, nitro, or haloalkyl; or hydrogen;

R₂ is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

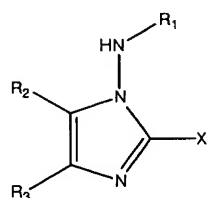
R₃ is phenyl optionally substituted with halo, alkoxy or alkyl.

27. The compound of claim 26, wherein X is NH₂; R₂ is hydrogen; and R₃ is phenyl, furyl, or thienyl.

28. The compound of claim 27, wherein the compound is



29. A compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen or alkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is hydrogen, alkyl, phenyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, in which phenyl, furyl,

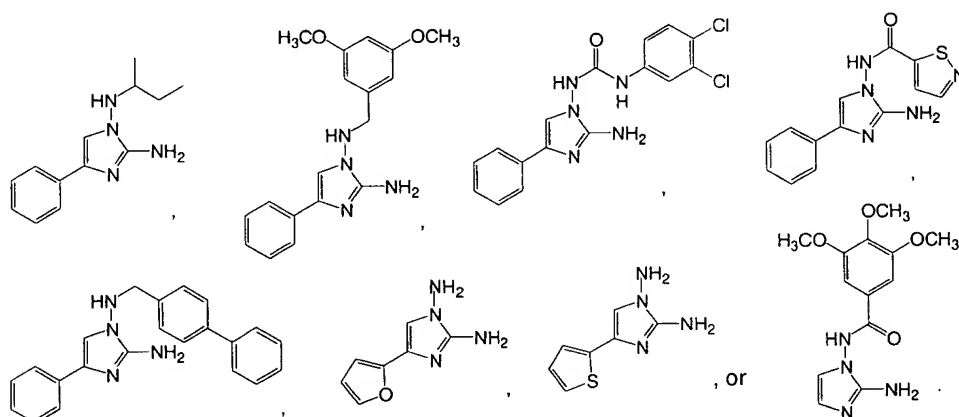
thienyl, pyridinyl, oxadiazolyl, or isoxazolyl is optionally substituted with alkoxy, halo, nitro, or haloalkyl;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

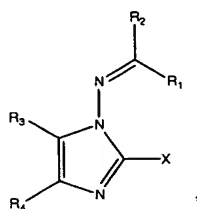
R_3 is hydrogen, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo or alkyl.

30. The compound of claim 29, wherein X is NH_2 ; R_2 is hydrogen; and R_3 is phenyl, furyl, or thienyl.

31. The compound of claim 30, wherein the compound is



32. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen, halo, alkyl, haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl; and each of R_c and R_d ,

independently, is hydrogen; halo; alkyl; heteroaryl; phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl or imidazolyl, or phenyl or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

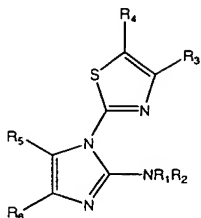
R_1 is cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclyl, optionally fused to aryl, heteroaryl, cycloalkyl, or heterocyclyl; hydrogen; halo; alkyl; haloalkyl; alkenyl; or alkynyl;

R_2 is hydrogen, alkyl, cycloalkyl, cycloalkenyl, phenyl, thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, cyano, alkyl, haloalkyl, nitro, or alkoxy;

R_3 is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; and

R_4 is diphenyl, thienyl, pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; is diphenyl, thienyl, pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy when R_2 is phenyl optionally substituted with hydroxy, alkyl, haloalkyl, or alkoxy; is pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is phenyl optionally substituted with chloro, bromo, iodo, or nitro; is phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is phenyl substituted with fluoro, alkyl, or haloalkyl; or is alkyl, cycloalkyl, cycloalkenyl, or heterocyclyl optionally substituted with hydroxy, halo, alkyl, cyano, nitro, haloalkyl or alkoxy when R_2 is hydrogen, alkyl, cycloalkyl, cycloalkenyl, thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, or alkoxy.

33. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of the following formula:



wherein

X is -NR_aR_b or -N=CR_cR_d, in which each of R_a and R_b, independently, is hydrogen, halo, alkyl, or haloalkyl; arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, and each of R_c and R_d, independently, is hydrogen; halo; alkyl; heteroaryl; phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl or imidazolyl, or phenyl or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

each of R₁ and R₂, independently, is hydrogen, alkyl, or haloalkyl;

R₃ is alkyl, phenyl, thienyl, pyridinyl, thiazolyl, cycloalkyl, cycloalkenyl, benzofuranyl, indolyl, pyrazinyl, pyrimidinyl, pyrrolyl, N-methylpyrrolyl, isothiazolyl, oxadiazolyl, furyl, isoazolyl, oxazolyl, or heterocyclyl optionally substituted with halo, alkyl, haloalkyl, hydroxy, or amino;

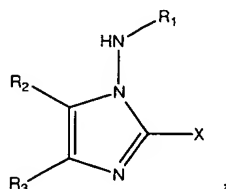
R₄ is hydrogen, alkyl, hydroxy, or amino;

R₅ is hydrogen, alkyl, or aryl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino;

R₆ is hydrogen, fluorophenyl, naphthyl, thienyl, pyridinyl, furyl, thiazolyl, cycloalkyl, cycloalkenyl, benzofuranyl, indolyl, pyrazinyl, pyrimidinyl, pyrrolyl, N-methylpyrrolyl, isothiazolyl, oxadiazolyl, isoazolyl, oxazolyl, or heterocyclyl when R₃ is alkyl optionally substituted with halo, hydroxy, or amino, or is phenyl optionally substituted with halo, hydroxy, amino, or alkyl; and R₅ is hydrogen, alkyl, or aryl

optionally substituted with hydroxy, alkyl, or amino, or is phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino when R_3 is thienyl, pyridinyl, or thiazolyl, optionally substituted with halo, alkyl, haloalkyl, or hydroxy, and R_5 is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino.

34. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of the following formula:



wherein

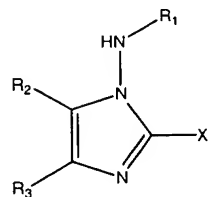
X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is halo or haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is alkyl, phenyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, optionally substituted with alkoxy, halo, cyano, nitro, or haloalkyl; or hydrogen;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

R_3 is hydrogen, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo or alkyl.

35. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of the following formula:



wherein

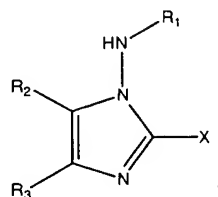
X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is halo or haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is alkyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, optionally substituted with alkoxy, halo, nitro, or haloalkyl; or hydrogen;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

R_3 is phenyl optionally substituted with halo, alkoxy or alkyl.

36. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of the following formula:



wherein

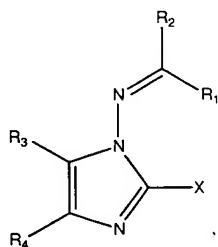
X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen or alkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is hydrogen, alkyl, phenyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, in which phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl is optionally substituted with alkoxy, halo, nitro, or haloalkyl;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

R_3 is hydrogen, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo or alkyl.

37. A method for treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen, halo, alkyl, haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl; and each of R_c and R_d , independently, is hydrogen; halo; alkyl; heteroaryl; phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl or imidazolyl, or phenyl or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclyl, optionally fused to aryl, heteroaryl, cycloalkyl, or heterocyclyl; hydrogen; halo; alkyl; haloalkyl; alkenyl; or alkynyl;

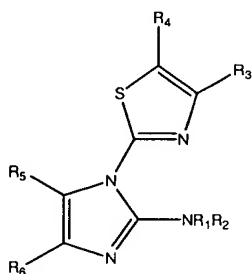
R_2 is hydrogen, alkyl, cycloalkyl, cycloalkenyl, phenyl, thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, cyano, alkyl, haloalkyl, nitro, or alkoxy;

R_3 is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; and

R_4 is diphenyl, thienyl, pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, nitro, or alkoxy; is diphenyl, thienyl, pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano,

nitro, or alkoxy when R_2 is phenyl optionally substituted with hydroxy, alkyl, haloalkyl, or alkoxy; is pyridinyl, thiazolyl, anthryl, naphthyl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is phenyl optionally substituted with chloro, bromo, iodo, or nitro; is phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, nitro, or alkoxy when R_2 is phenyl substituted with fluoro, alkyl, or haloalkyl; or is alkyl, cycloalkyl, cycloalkenyl, or heterocyclyl optionally substituted with hydroxy, halo, alkyl, cyano, nitro, haloalkyl or alkoxy when R_2 is hydrogen, alkyl, cycloalkyl, cycloalkenyl, thienyl, thiazolyl, anthryl, or quinolyl, optionally substituted with hydroxy, halo, alkyl, haloalkyl, cyano, or alkoxy.

38. A method for treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen, halo, alkyl, or haloalkyl; arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, and each of R_c and R_d , independently, is hydrogen; halo; alkyl; heteroaryl; phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl or imidazolyl, or phenyl or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

each of R_1 and R_2 , independently, is hydrogen, alkyl, or haloalkyl;

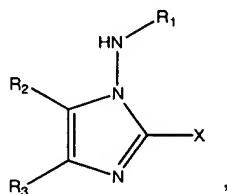
R_3 is alkyl, phenyl, thienyl, pyridinyl, thiazolyl, cycloalkyl, cycloalkenyl, benzofuranyl, indolyl, pyrazinyl, pyrimidinyl, pyrrolyl, N-methylpyrrolyl, isothiazolyl, oxadiazolyl, furyl, isoazolyl, oxazolyl, or heterocyclyl optionally substituted with halo, alkyl, haloalkyl, hydroxy, or amino;

R_4 is hydrogen, alkyl, hydroxy, or amino;

R_5 is hydrogen, alkyl, or aryl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino;

R_6 is hydrogen, fluorophenyl, naphthyl, thienyl, pyridinyl, furyl, thiazolyl, cycloalkyl, cycloalkenyl, benzofuranyl, indolyl, pyrazinyl, pyrimidinyl, pyrrolyl, N-methylpyrrolyl, isothiazolyl, oxadiazolyl, isoazolyl, oxazolyl, or heterocyclyl when R_3 is alkyl optionally substituted with halo, hydroxy, or amino, or is phenyl optionally substituted with halo, hydroxy, amino, or alkyl; and R_5 is hydrogen, alkyl, or aryl optionally substituted with hydroxy, alkyl, or amino, or is phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino when R_3 is thienyl, pyridinyl, or thiazolyl, optionally substituted with halo, alkyl, haloalkyl, or hydroxy, and R_5 is hydrogen, alkyl, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, or amino.

39. A method for treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is halo or haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl

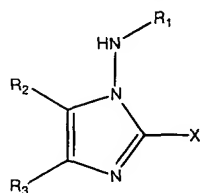
substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is alkyl, phenyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, optionally substituted with alkoxy, halo, cyano, nitro, or haloalkyl; or hydrogen;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

R_3 is hydrogen, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo or alkyl.

40. A method for treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is halo or haloalkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

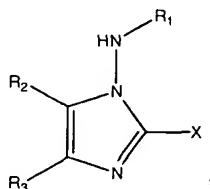
R_1 is alkyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl,

isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, optionally substituted with alkoxy, halo, nitro, or haloalkyl; or hydrogen;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

R_3 is phenyl optionally substituted with halo, alkoxy or alkyl.

41. A method for treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of the following formula:



wherein

X is $-NR_aR_b$ or $-N=CR_cR_d$, in which each of R_a and R_b , independently, is hydrogen or alkyl, arylalkyl, heteroarylalkyl, arylcarbonyl, heteroarylcarbonyl, arylaminocarbonyl, or arylsulfonyl, in which aryl or heteroaryl is optionally substituted with alkoxy, halo, nitro, cyano, haloalkyl, or phenyl optionally substituted with halo; and each of R_c and R_d , independently, is hydrogen, halo, or phenyl optionally substituted with hydroxy, halo, alkyl, haloalkyl, alkoxy, or amino; phenylsulfonyl substituted with cyano, halo, oxo, or amino; phenylcarbonyl substituted with cyano, halo, oxo, or amino; naphthalenylsulfonyl substituted with cyano, halo, oxo, or amino; naphthylcarbonyl substituted with cyano, halo, oxo, or amino; or alkyl optionally substituted with halo, phenyl optionally substituted with alkyl, halo, or hydroxy, or imidazolyl optionally substituted with alkyl, halo, or hydroxy;

R_1 is hydrogen, alkyl, phenyl, haloalkylphenyl, phenylalkyl, diphenylalkyl, pyridinylalkyl, phenyloxadiazolylalkyl, phenylcarbonyl, furylcarbonyl, thienylcarbonyl, isoxazolylcarbonyl, phenylaminocarbonyl, or phenylsulfonyl, in which phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl is optionally substituted with alkoxy, halo, nitro, or haloalkyl;

R_2 is hydrogen, alkyl, phenyl, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo; and

1 R₃ is hydrogen, furyl, thienyl, pyridinyl, oxadiazolyl, or isoxazolyl, optionally substituted with halo or alkyl.